

Figure 1

The scheme illustrates the synthesis of cyclic urea derivatives from a poly(ethylene glycol) (PEG) starting material, **6**.

 1. **6** (a PEG chain with terminal hydroxyl groups) reacts with 1) DIC/HOBt and N-Boc-O-(t-butyl)serine, followed by 2) TFA, to form intermediate **9**.

 2. Intermediate **9** is treated with NaIO_4 to form intermediate **10**.

 3. Intermediate **10** reacts with RONH_2 to form the final cyclic urea derivative **11**.

Figure 2

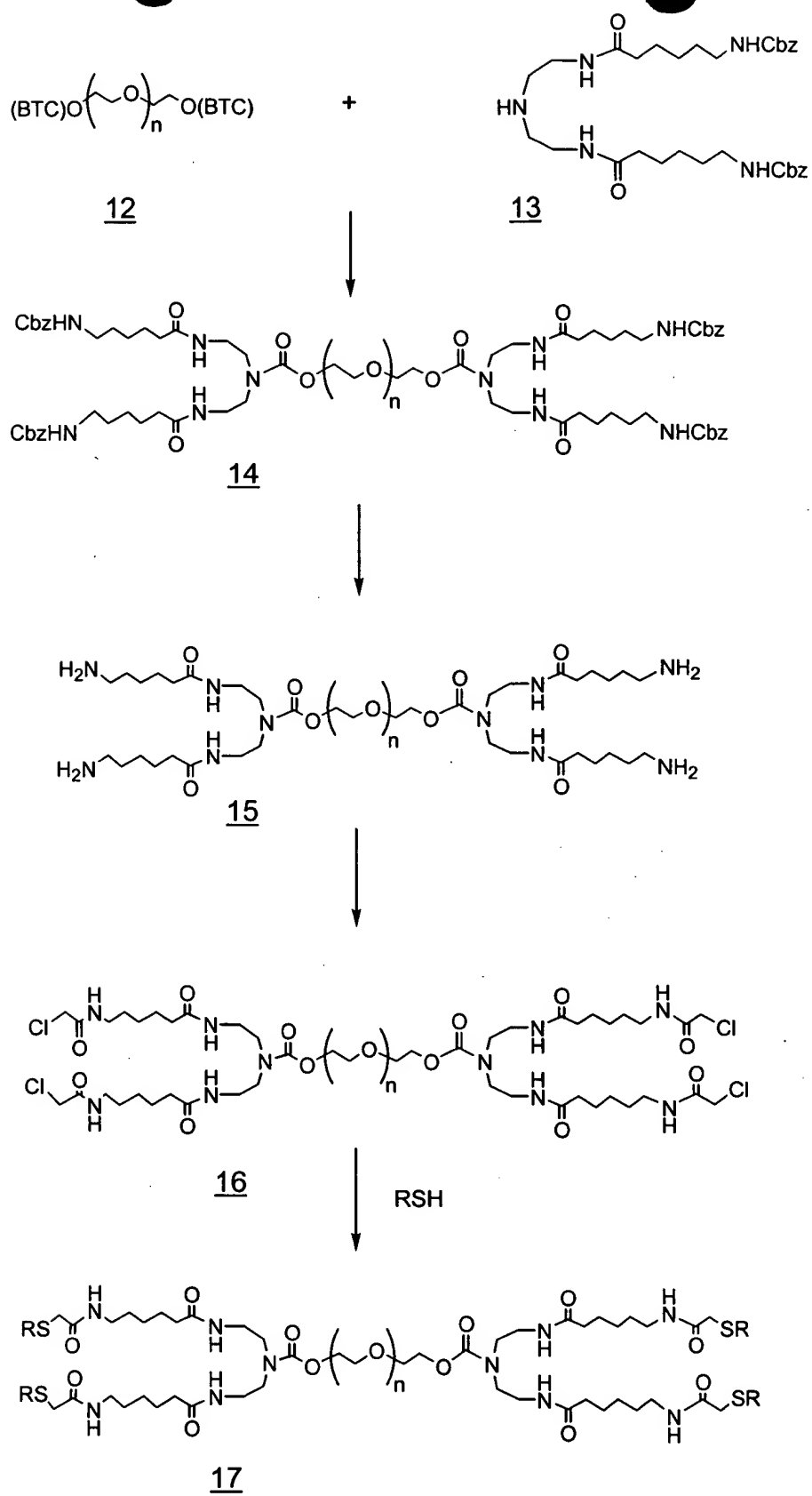


Figure 3

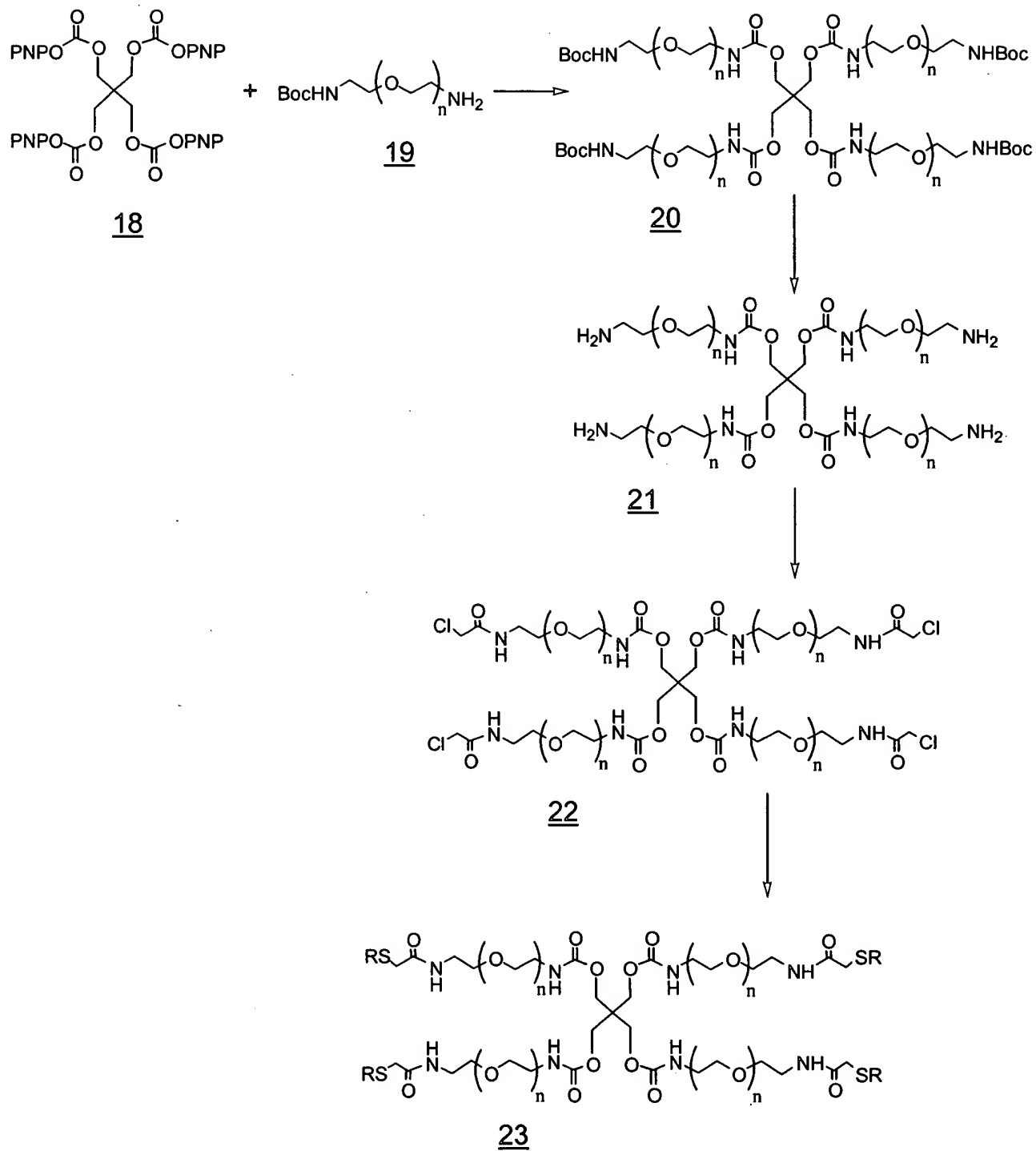


Figure 4

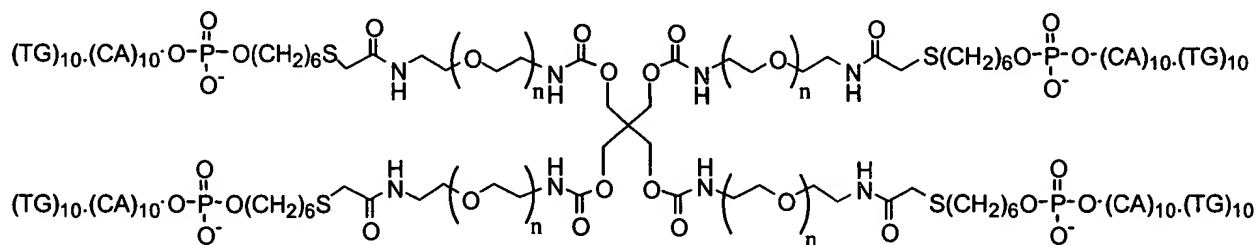
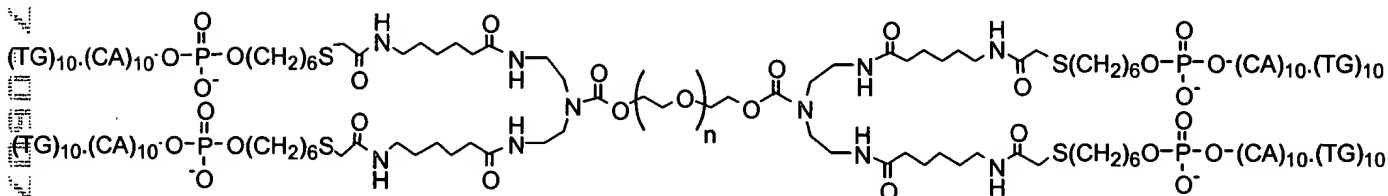
[illegible]

Figure 5

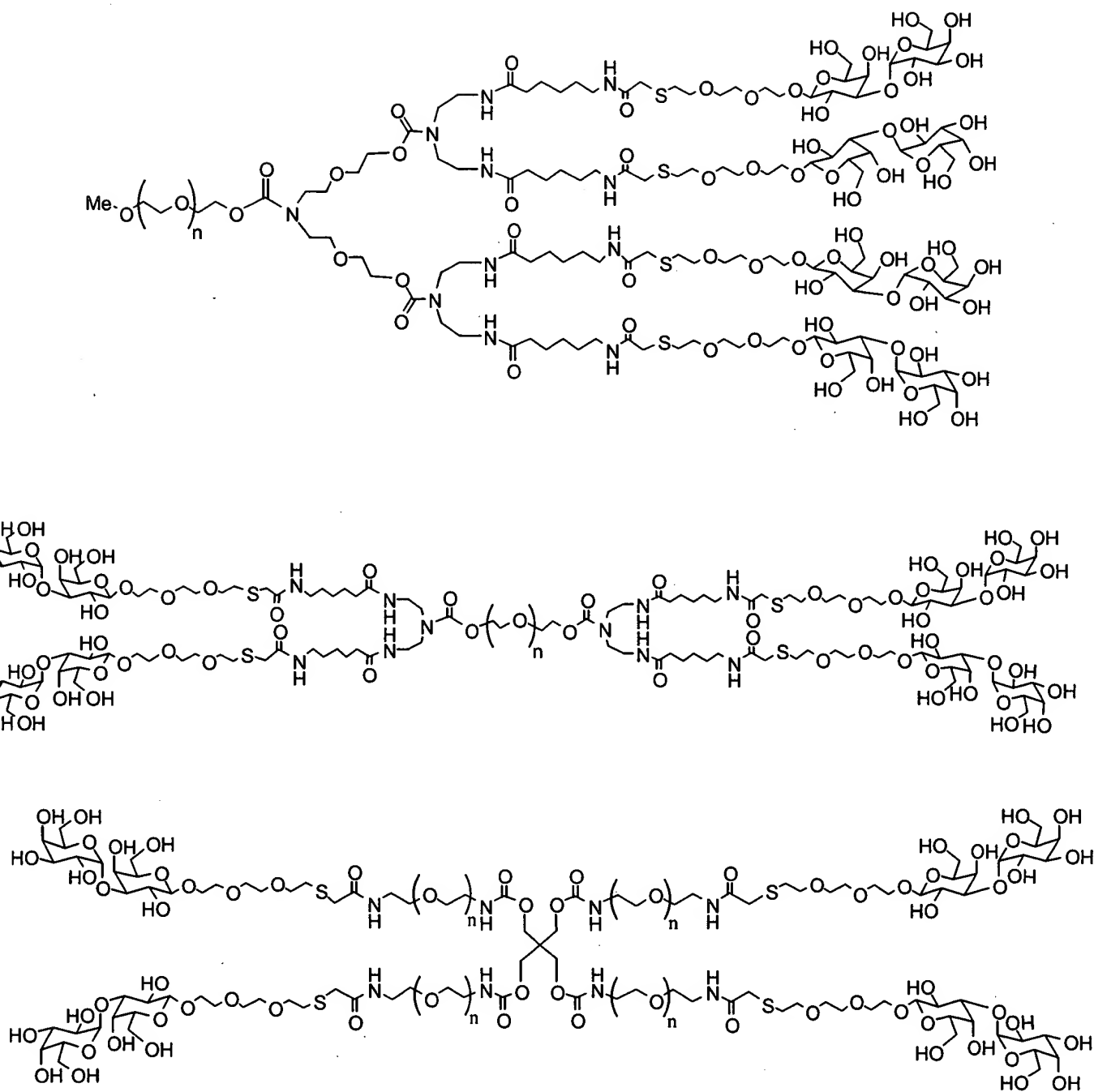
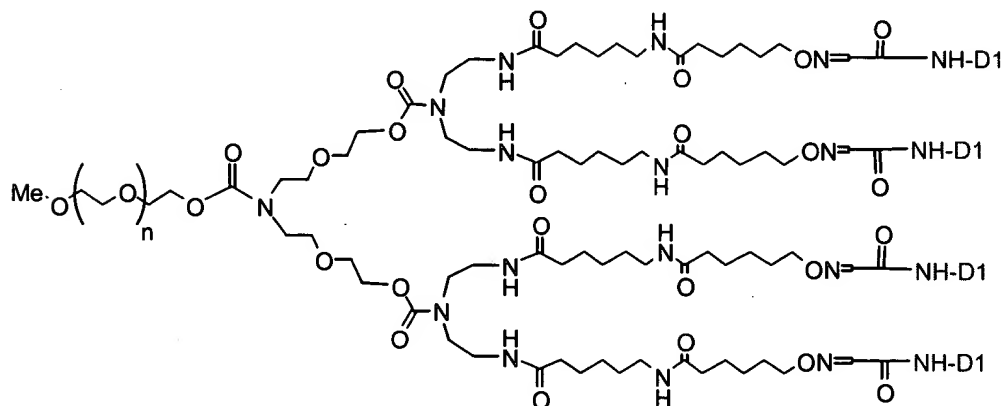
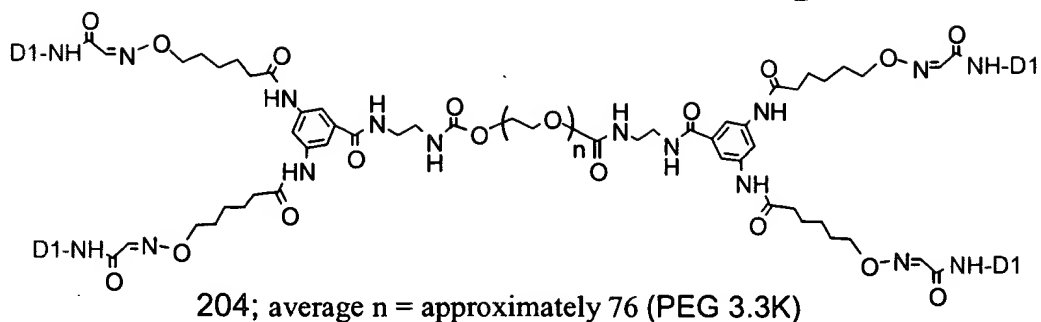


Figure 6



201; average n = approximately 114 (PEG 5K)

205; average n = approximately 261 (PEG 12K)

301; average n = approximately 682 (PEG 30K)

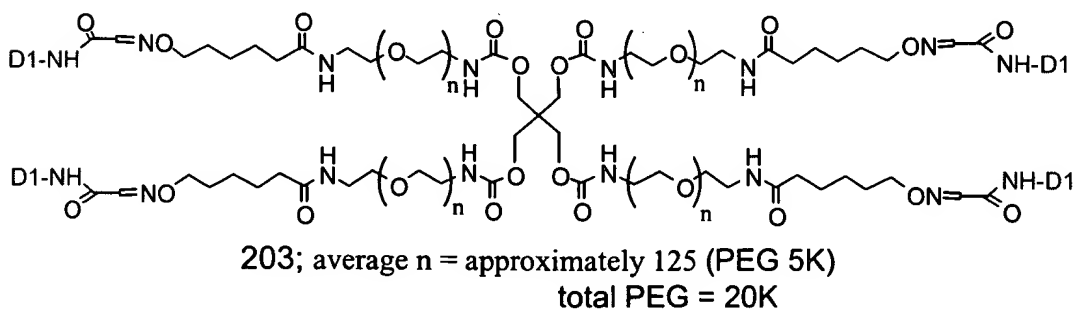
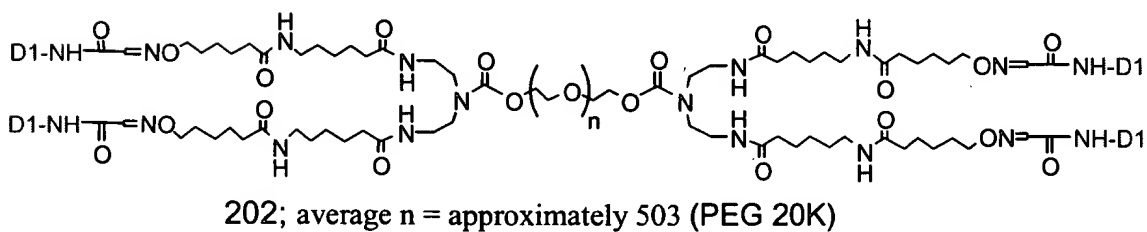
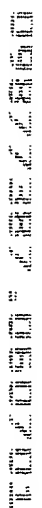
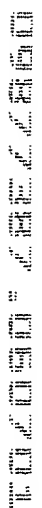
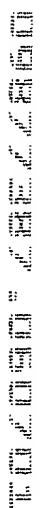
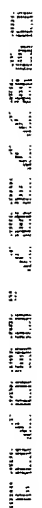
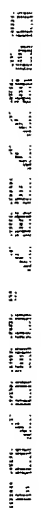


Figure 7

[illegible][illegible][illegible][illegible][illegible][illegible]

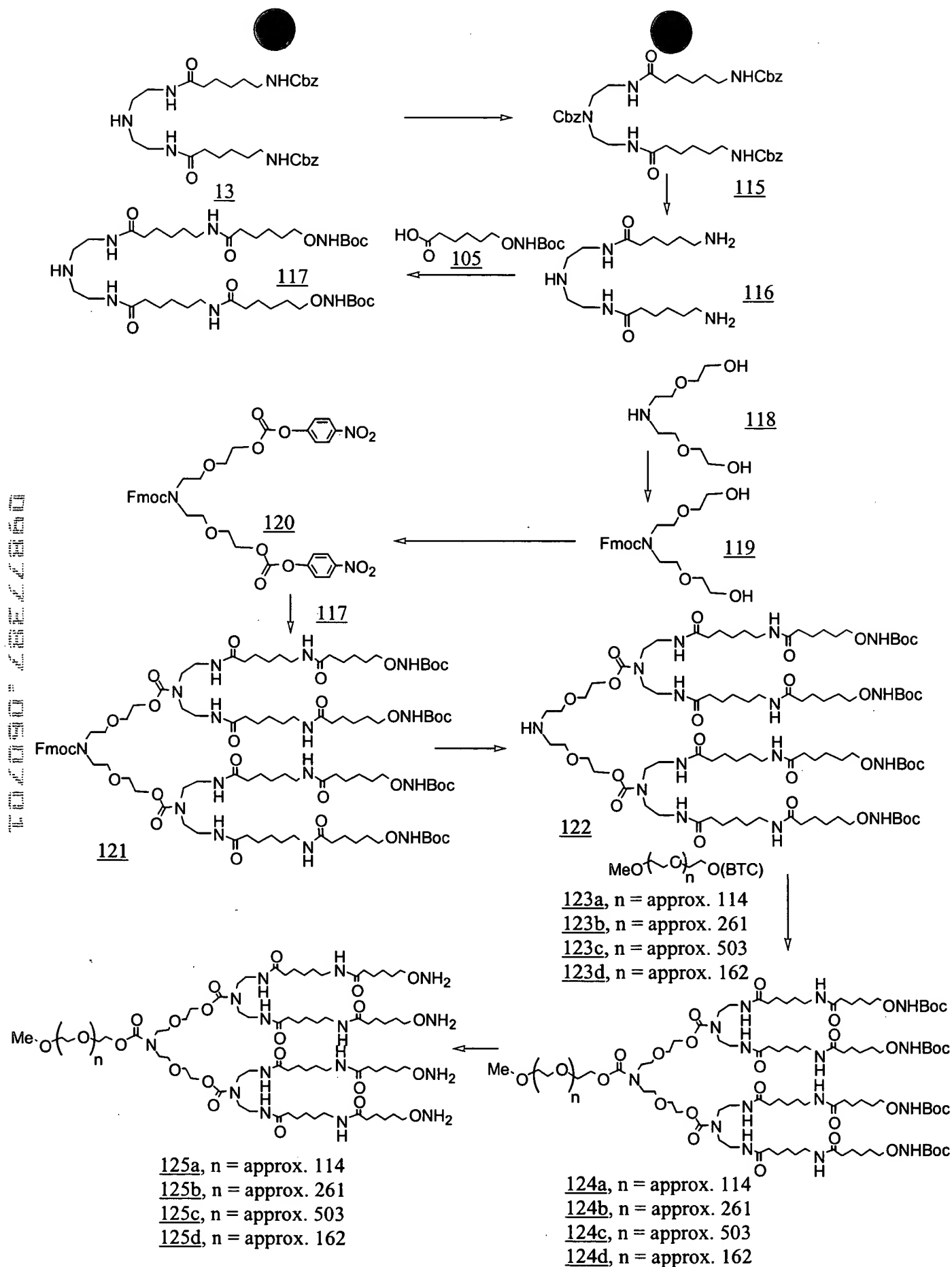


Figure 9

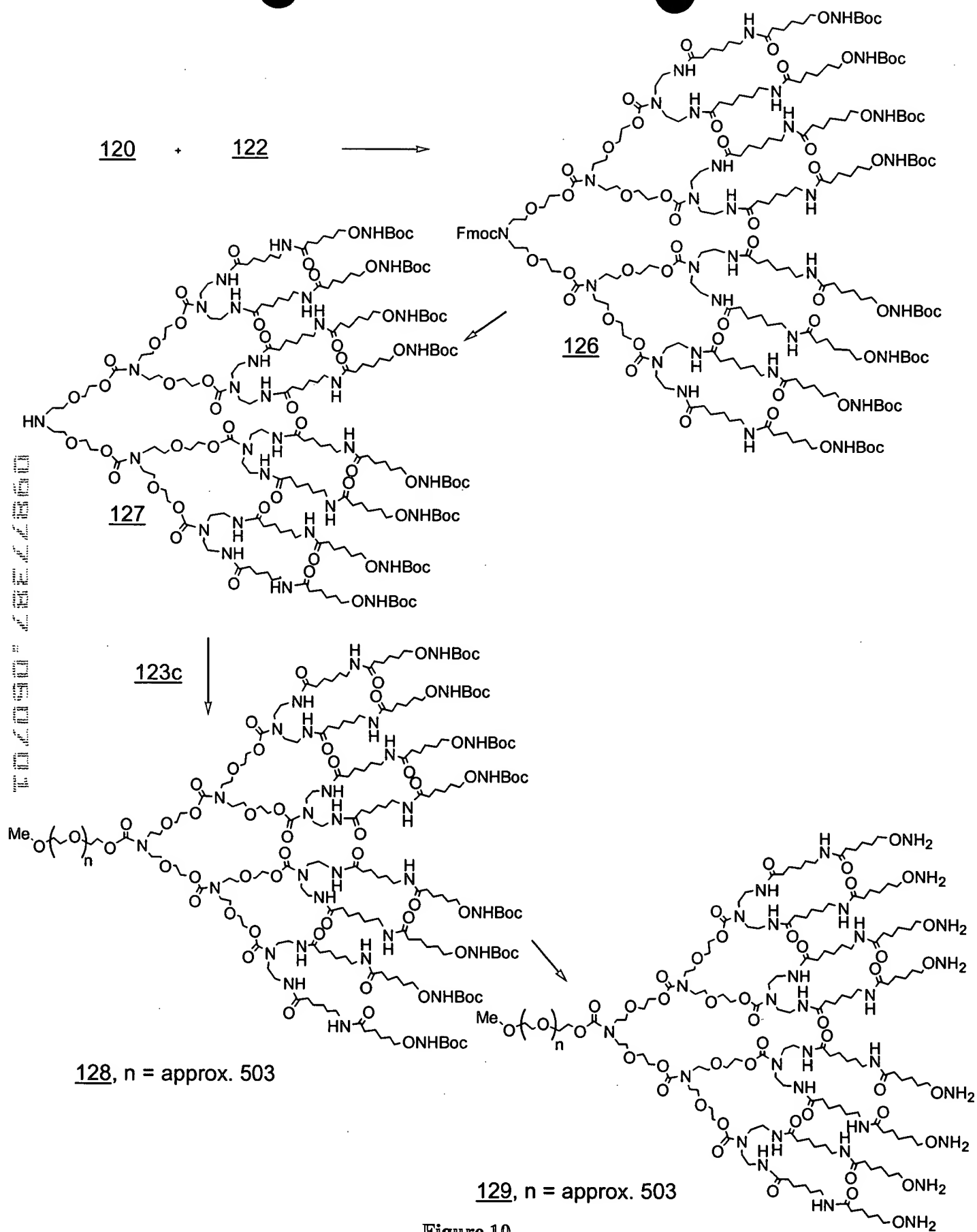


Figure 10

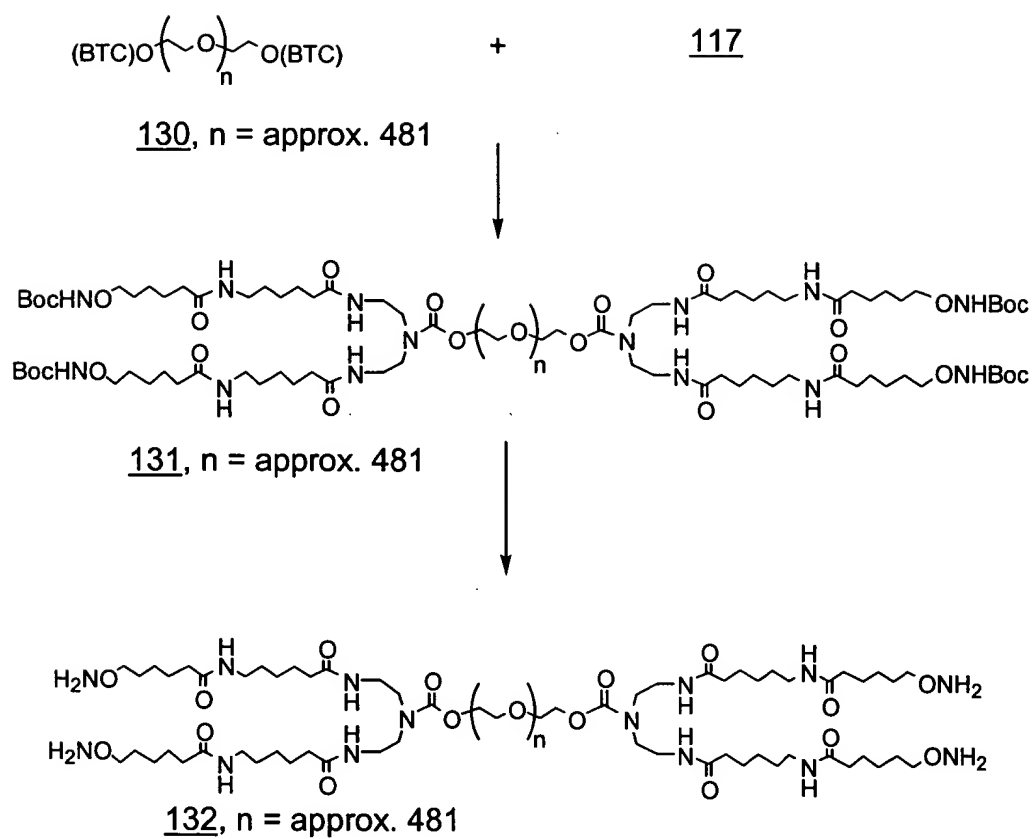


Figure 11

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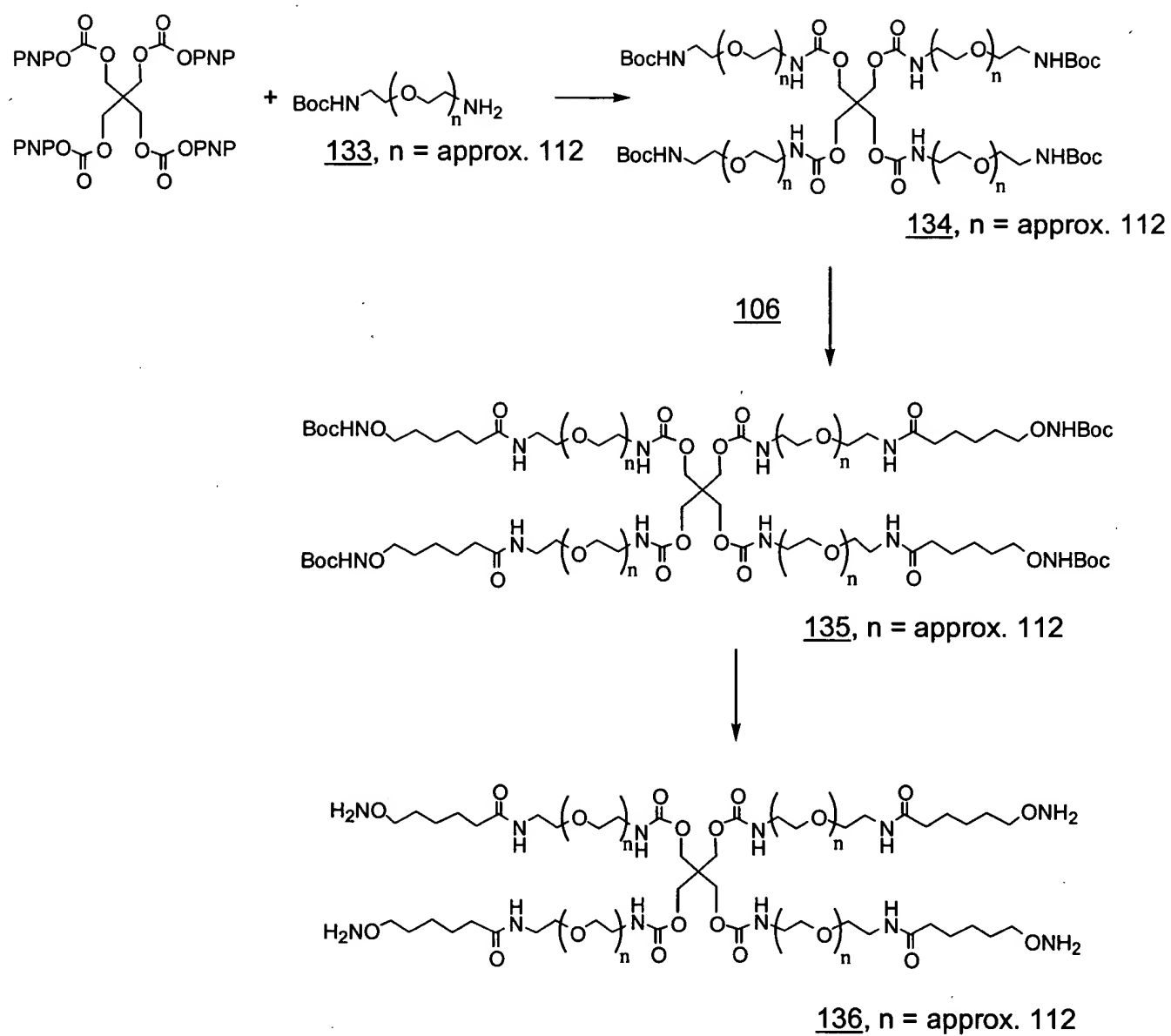


Figure 12

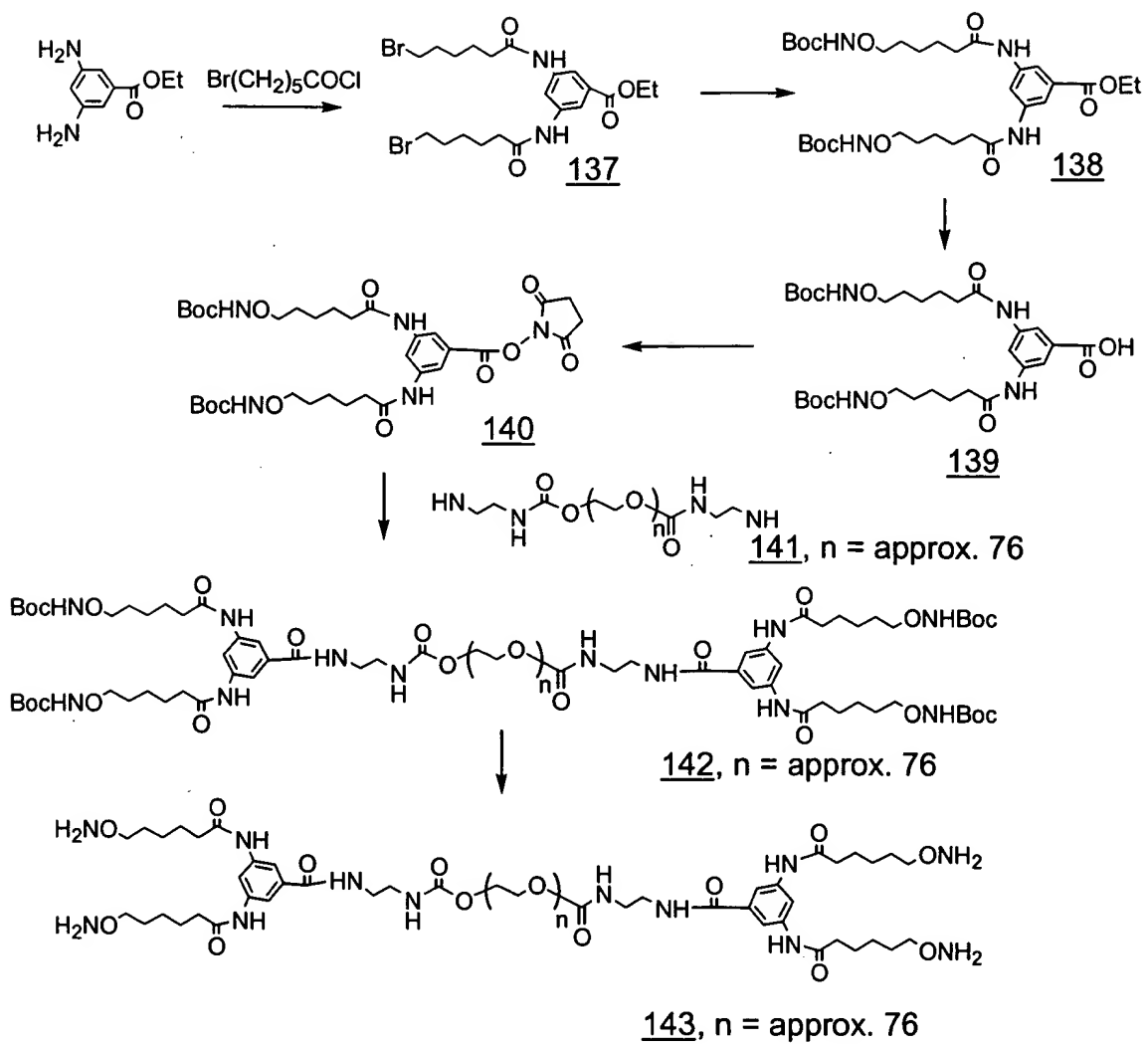


Figure 13

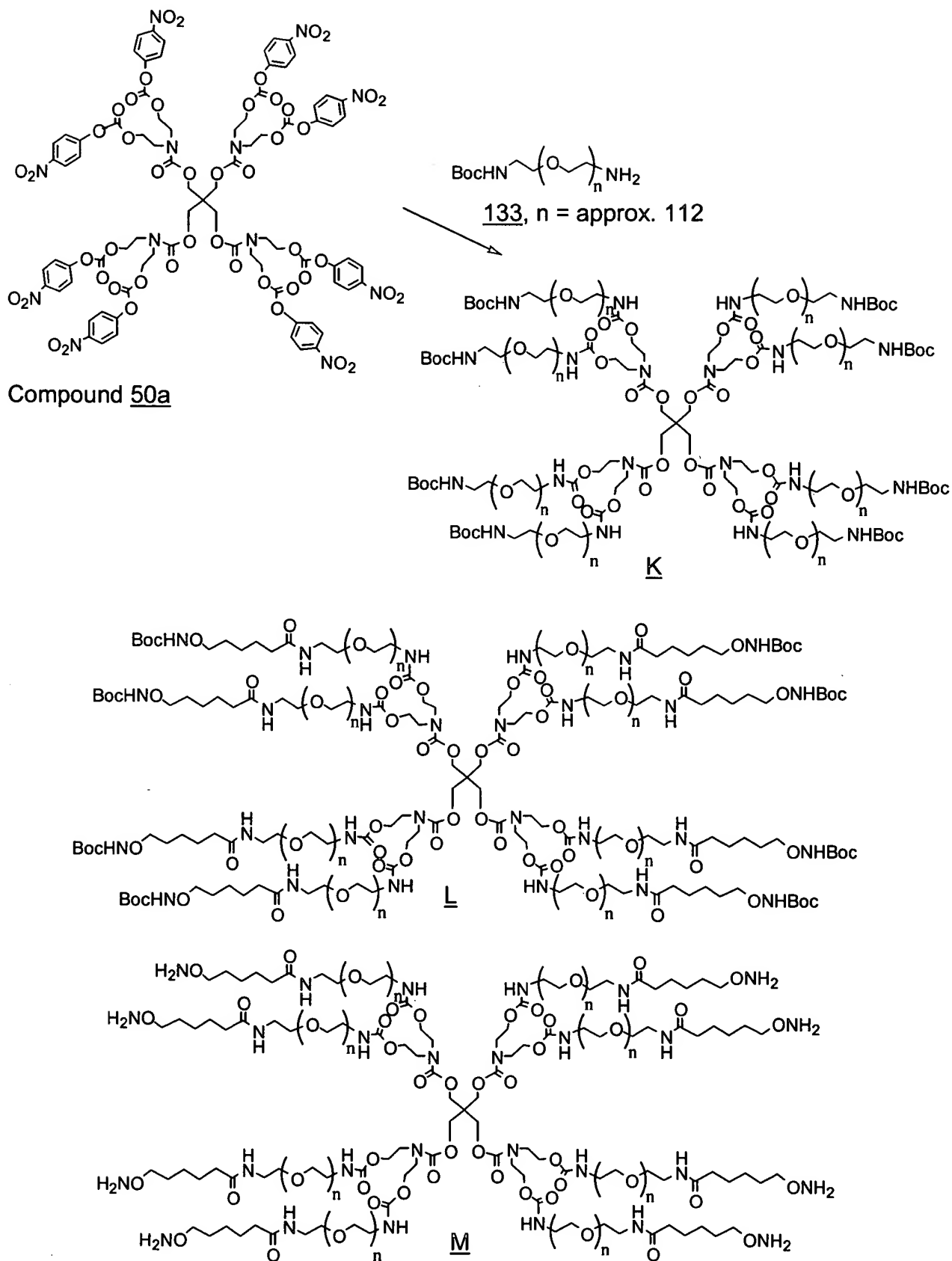


Figure 14

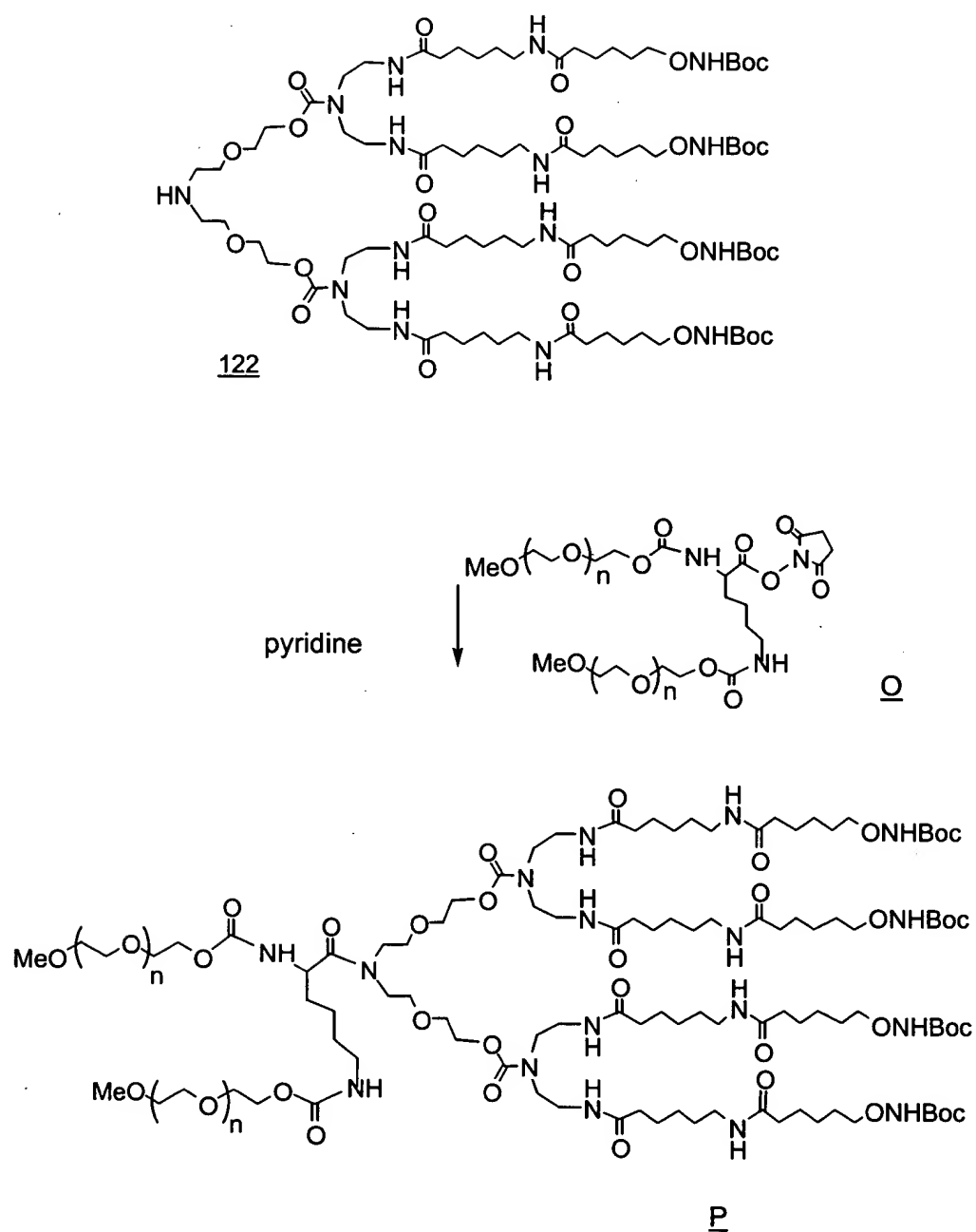
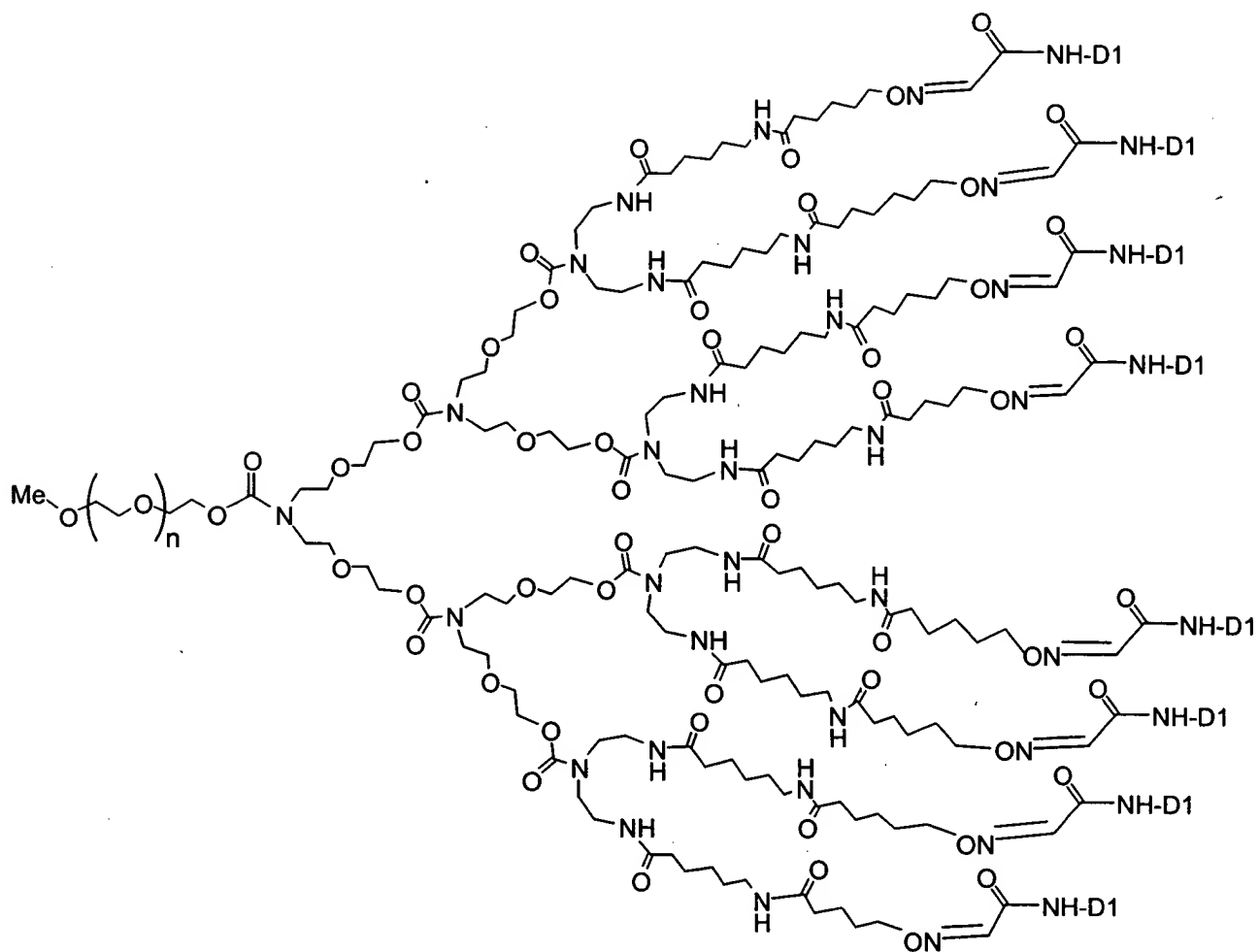


Figure 15

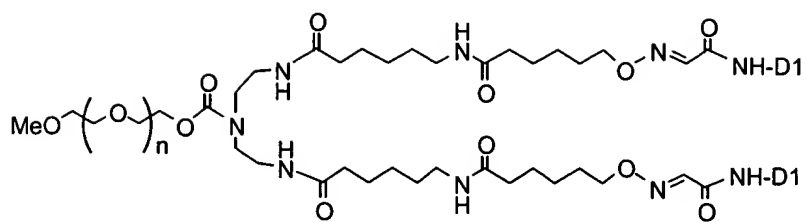


300, $n = \text{approx. } 503$

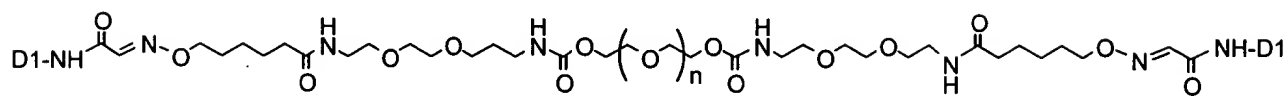
Figure 16

The scheme illustrates the multi-step synthesis of compound 306:
1. Compound 123c ($\text{MeO}-(\text{CH}_2)_n-\text{O}-\text{BTC}$) reacts with reagent 117 to form intermediate 302.
2. Intermediate 302 is converted to intermediate 303.
3. Separately, ethylenediamine reacts with reagent 106 to form intermediate 304.
4. Intermediate 304 reacts with compound (BTC) $-(\text{CH}_2)_n-\text{O}-\text{BTC}$ to form intermediate 305.
5. Finally, intermediate 305 is converted to the target compound 306.

Figure 17



309, n = approx. 500

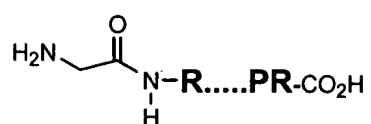


310, n = approx. 500

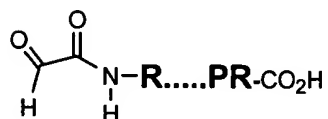
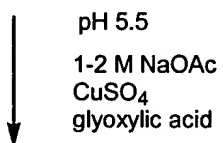
Figure 18

gga	cgg	acc	tgt	ccc	aag	cca	gat	gat	tta	cca	ttt	tcc	aca	gtg	gtc	48
Gly	Arg	Thr	Cys	Pro	Lys	Pro	Asp	Asp	Leu	Pro	Phe	Ser	Thr	Val	Val	
1				5					10					15		
ccg	tta	aaa	aca	ttc	tat	gag	cca	gga	gaa	gag	att	acg	tat	tcc	tgc	96
Pro	Leu	Lys	Thr	Phe	Tyr	Glu	Pro	Gly	Glu	Glu	Ile	Thr	Tyr	Ser	Cys	
			20					25					30			
aag	ccg	ggc	tat	gtg	tcc	cga	gga	ggg	atg	aga	aag	ttt	atc	tgc	cct	144
Lys	Pro	Gly	Tyr	Val	Ser	Arg	Gly	Gly	Met	Arg	Lys	Phe	Ile	Cys	Pro	
		35					40					45				
ctc	aca	gga	ctg	tgg	ccc	atc	aac	act	ctg	aaa	tgt	aca	ccc	aga	gta	192
Leu	Thr	Gly	Leu	Trp	Pro	Ile	Asn	Thr	Leu	Lys	Cys	Thr	Pro	Arg	Val	
	50					55					60					

Figure 19



Domain 1 of β_2 GPI (D₁, where bold letters stand for single letter amino acid code of terminal amino acids of Domain 1 of β_2 GPI)



Transaminated Domain 1 (**TA/D1**)
 Comprising a terminal glyoxyl group

Figure 20